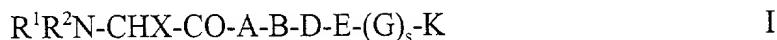


## CLAIMS

What is claimed is:

5 1. Novel peptides of the formula I

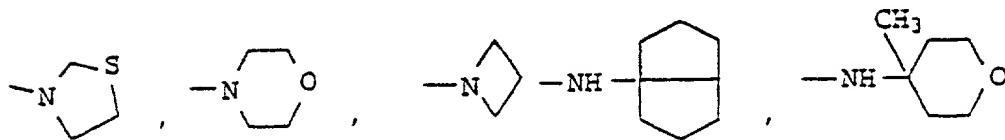


where

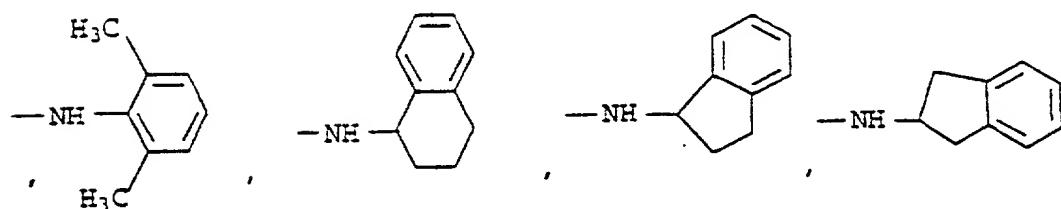
- R<sup>1</sup> is hydrogen, methyl; or ethyl;
- R<sup>2</sup> is methyl; or ethyl; or
- 10 R<sup>1</sup>-N-R<sup>2</sup> together are a pyrrolidine ring;
- A is a valyl, isoleucyl, allo-isoleucyl, 2-tert-butylglycyl, 2-ethylglycyl, norleucyl or norvalyl residue;
- B is a N-methyl-valyl, N-methyl-norvalyl, N-methyl-leucyl, N-methyl-isoleucyl, N-methyl-2-tert-butylglycyl, N-methyl-2-ethylglycyl, or N-methyl-norleucyl residue;
- 15 D is a prolyl, homoprolyl, hydroxyprolyl, or thiazolidine-4-carbonyl residue;
- E is a prolyl, homoprolyl, hydroxyprolyl, thiazolidine-4-carbonyl, trans-4-fluoro-L-prolyl, cis-4-fluoro-L-prolyl, trans-4-chloro-L-prolyl or cis-4-chloro-L-prolyl residue;
- 20 X is ethyl, propyl, butyl, isopropyl, sec. butyl, tert.-butyl, cyclopropyl, or cyclopentyl;
- G is a L-2-tert.butylglycyl, D-2-terr.butylglycyl, D-valyl, D-isoleucyl, D-leucyl, D-norvalyl, 1-aminopentyl-1-carbonyl, or 2,2-dimethylglycyl residue;
- 25 s is 0 or 1;
- K is -NH-C<sub>1-8</sub>-alkyl, -NH-C<sub>3-8</sub>-alkenyl, -NH-C<sub>3-8</sub>-alkinyl, -NH-C<sub>6-8</sub>-cycloalkyl, -NH-C<sub>1-4</sub>-alkene-C<sub>3-8</sub>-cycloalkyl, C<sub>1-4</sub>-alkyl-N-C<sub>1-6</sub>-alkyl, in which residues one CH<sub>2</sub> group may be replaced by O or

S, one H by phenyl or cyano, or 1, 2 or 3 H by F, except the N-methoxy-N-methylamino, N-benzylamino, or N-methyl-N-benzylamino residue, or K is

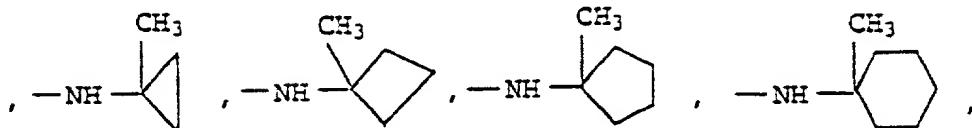
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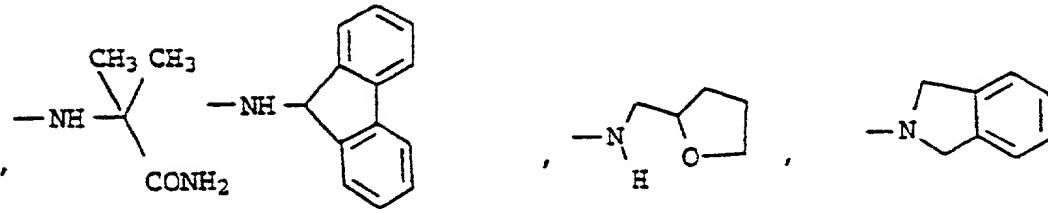
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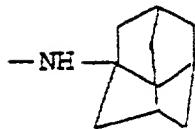
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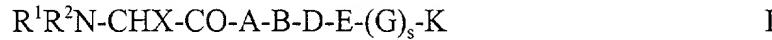


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and the salts thereof with physiologically tolerated acids.

## 2. Novel peptides of the formula I



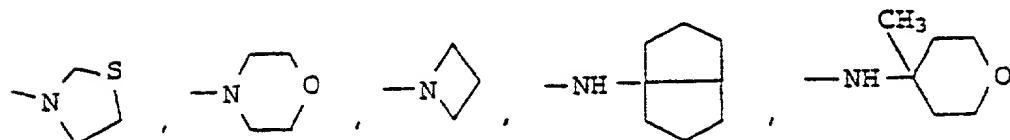
where

- R<sup>1</sup> is hydrogen, methyl; or ethyl;
- 5 R<sup>2</sup> is methyl; or ethyl ; or
- R<sup>1</sup>-N-R<sup>2</sup> together are a pyrrolidine ring;
- A is a valyl, isoleucyl, allo-isoleucyl, 2-tert-butylglycyl, 2-ethylglycyl, norleucyl or norvalyl residue;
- B 10 is a N-methyl-valyl, N-methyl-norvalyl, N-methyl-leucyl, N-methyl-isoleucyl, N-methyl-2-tert-butylglycyl, N-methyl-2-ethylglycyl, or N-methyl-norleucyl residue;
- D 15 is a prolyl, homoprolyl, hydroxyprolyl, or thiazolidine-4-carbonyl residue;
- E is a prolyl, homoprolyl, hydroxyprolyl, thiazolidine-4-carbonyl, trans-4-fluoro-L-prolyl, cis-4-fluoro-L-prolyl, trans-4-chloro-L-prolyl or cis-4-chloro-L-prolyl residue;
- X 20 is ethyl, propyl, butyl, isopropyl, sec. butyl, tert.butyl, cyclopropyl, or cyclopentyl;
- G is a L-2-tert.butylglycyl, D-2-terr.butylglycyl, D-valyl, D-isoleucyl, D-leucyl, D-norvalyl, 1-aminopentyl-1-carbonyl, or 2,2-dimethylglycyl residue;
- s 25 is 0 or 1;
- K -NHCH<sub>3</sub>, -NHCH<sub>2</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>2</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>3</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>4</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>5</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>6</sub>CH<sub>3</sub>, -NHCH(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>, -NHCH(CH<sub>3</sub>)<sub>2</sub>, -NHCH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>, -NHCH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -NHCH(CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -NHC(CH<sub>3</sub>)<sub>3</sub>, -NHCH(CH<sub>2</sub>CH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -NHCH(CH<sub>3</sub>)CH(CH<sub>3</sub>)<sub>2</sub>, -NHCH(CH<sub>2</sub>CH<sub>3</sub>)CH(CH<sub>3</sub>)<sub>2</sub>, -NHCH(CH<sub>3</sub>)C(CH<sub>3</sub>)<sub>3</sub>, -NH-cyclohexyl, -NH-cycloheptyl, -NH-cyclooctyl,

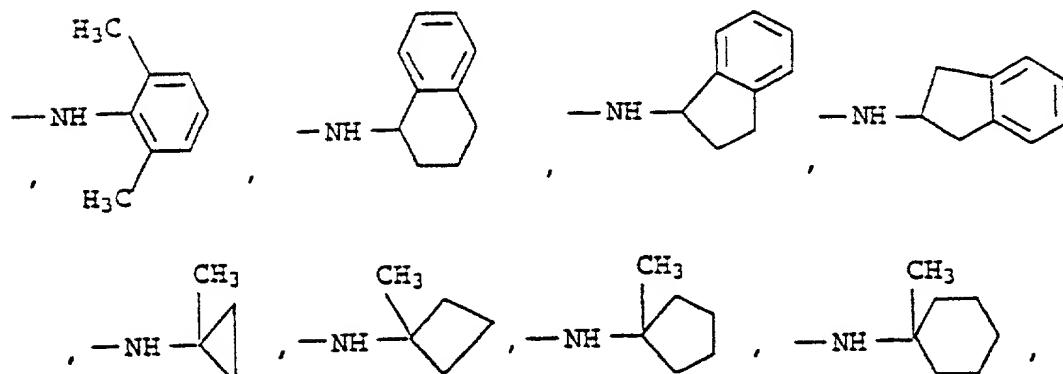
-N(CH<sub>3</sub>)OCH<sub>2</sub>CH<sub>3</sub>, -N(CH<sub>3</sub>)OCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -N(CH<sub>3</sub>)OCH(CH<sub>3</sub>)<sub>2</sub>,  
 -N(CH<sub>3</sub>)O(CH<sub>2</sub>)<sub>3</sub>CH<sub>3</sub>, -N(CH<sub>3</sub>)OCH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>, -NH(CH<sub>2</sub>)<sub>2</sub>C<sub>6</sub>H<sub>5</sub>,  
 -NH(CH<sub>2</sub>)<sub>3</sub>C<sub>6</sub>H<sub>5</sub>, -NHCH(CH<sub>3</sub>)C<sub>6</sub>H<sub>5</sub>, -NHC(CH<sub>3</sub>)<sub>2</sub>C<sub>6</sub>H<sub>5</sub>,  
 -NHC(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -NHC(CH<sub>3</sub>)(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -NHCH[CH(CH<sub>3</sub>)<sub>2</sub>]<sub>2</sub>,  
 5 -NHC(CH<sub>3</sub>)<sub>2</sub>CN, -NHCH(CH<sub>3</sub>)CH(OH)C<sub>6</sub>H<sub>5</sub>, -NHCH<sub>2</sub>-cyclohexyl,  
 -NHCH<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, -NHCH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -NHCH<sub>2</sub>CF<sub>3</sub>, -NHCH(CH<sub>2</sub>F)<sub>2</sub>,  
 -NHCH<sub>2</sub>CH<sub>2</sub>F, -NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, -NHCH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>,  
 -NHCH<sub>2</sub>CHCH<sub>2</sub>, -NH-C(CH<sub>3</sub>)<sub>2</sub>CH=CH<sub>2</sub>, -NHC(CH<sub>3</sub>)<sub>2</sub>C≡CH,  
 -NHC(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>C≡CH, -NHC(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OH,  
 10 -NH(CH<sub>2</sub>CH<sub>2</sub>O)<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -NHC(CH<sub>3</sub>)<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>,  
 -NHC(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -NHC(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>,  
 -N(OCH<sub>3</sub>)CH(CH<sub>3</sub>)<sub>2</sub>, -N(OCH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>, -N(OCH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>,  
 -N(OCH<sub>3</sub>)CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>, -N(OCH<sub>3</sub>)C<sub>6</sub>H<sub>5</sub>, -N(CH<sub>3</sub>)OC<sub>6</sub>H<sub>5</sub>,  
 -NHCH[CH(CH<sub>3</sub>)<sub>2</sub>]<sub>2</sub>, -N(OCH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>,  
 15

or K is

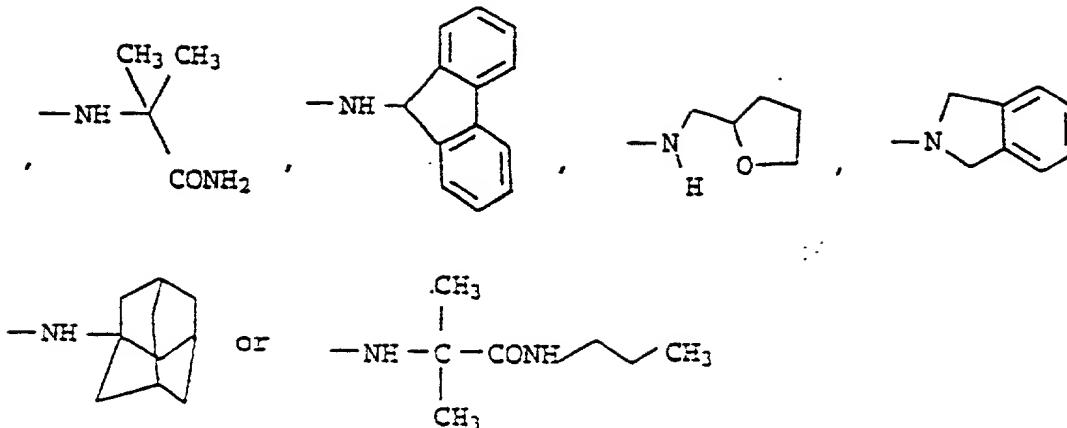
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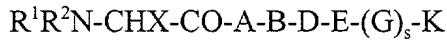
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And the salts thereof with physiologically tolerated acids.

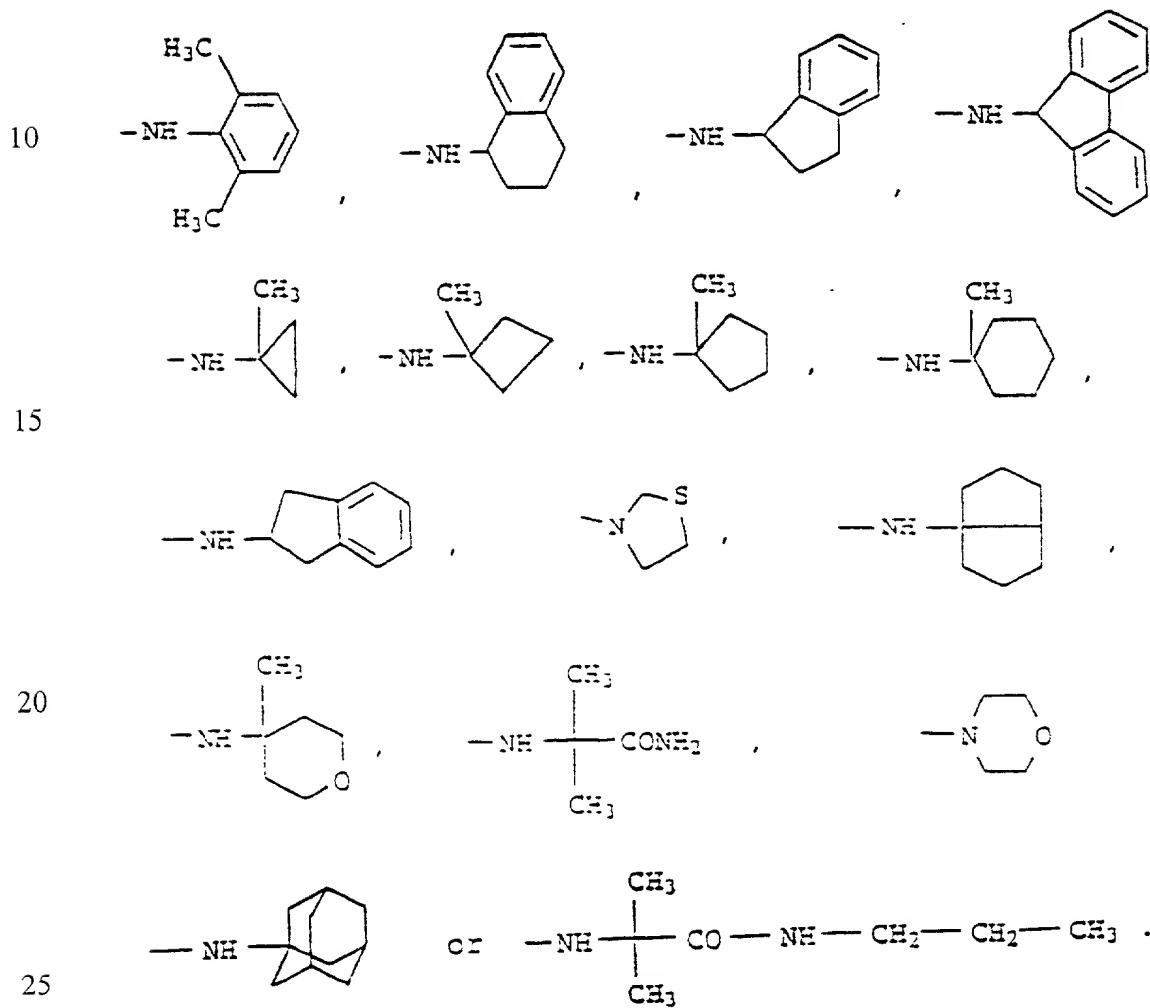
3. Novel peptides of the formula I



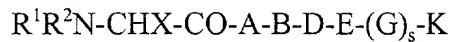
15 where

- R<sup>1</sup> is hydrogen, methyl; or ethyl;
- R<sup>2</sup> is methyl; or ethyl ;
- A is a valyl, isoleucyl, 2-tert-butylglycyl, 2-ethylglycyl, norleucyl or norvalyl residue;
- B is a N-methyl-valyl, N-methyl-norvalyl, N-methyl-isoleucyl, N-methyl-2-tert-butylglycyl, N-methyl-2-ethylglycyl, or N-methyl-norleucyl residue;
- D is a prolyl, or thiazolidine-4-carbonyl residue;
- E is a prolyl, homoprolyl, thiazolidine-4-carbonyl, trans-4-fluoro-L-prolyl, cis-4-fluoro-L-prolyl, trans-4-chloro-L-prolyl or cis-4-chloro-L-prolyl residue;
- X is ethyl, propyl, isopropyl, sec. butyl, tert.-butyl, or cyclopropyl;
- G is a L-2-tert.butylglycyl, D-2-tert.butylglycyl, D-valyl, D-isoleucyl, D-leucyl, or 2,2-dimethylglycyl residue;

- s                  is 0 or 1;
- K                  is -NH-C<sub>1-8</sub>-alkyl, -NH-C<sub>6-8</sub>-cycloalkyl, -NH-CH<sub>2</sub>-cyclohexyl, C<sub>1-4</sub>-alkyl-N-C<sub>1-6</sub>-alkyl, in which residues one CH<sub>2</sub> group may be replaced by O, one H by phenyl or 1 or 2 H by F, except the N-methoxy-N-methylamino, N-benzylamino or N-methyl-N-benzylamino residue, or K is
- 5



## 4. Novel peptides of the formula I

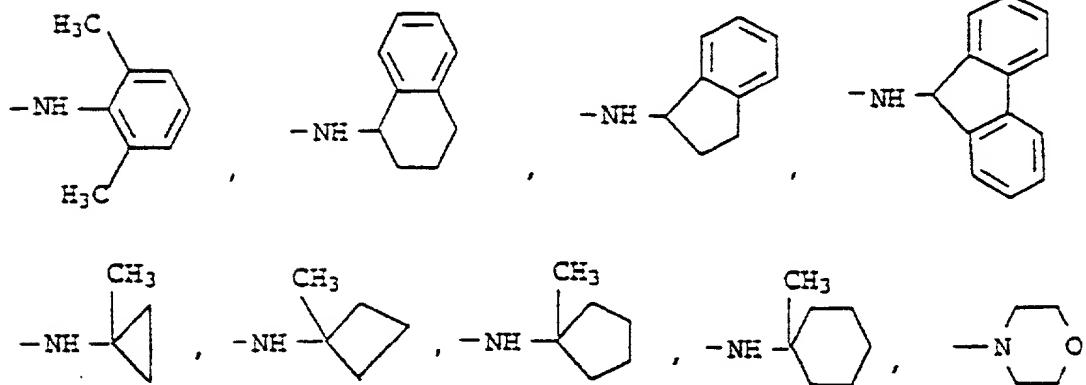


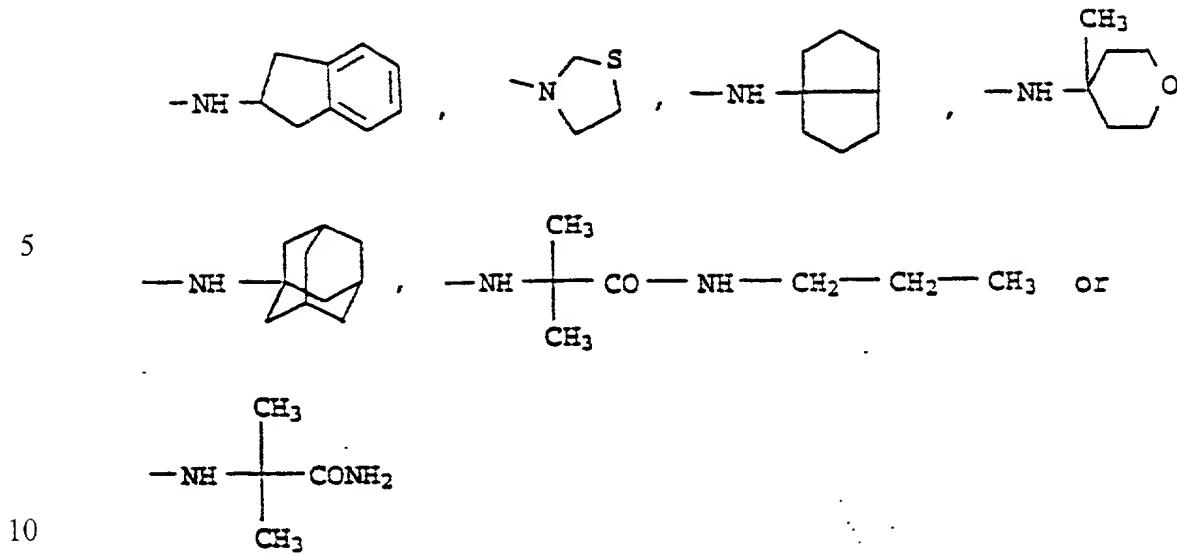
I

where

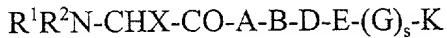
- R<sup>1</sup> is methyl;
- 5 R<sup>2</sup> is methyl;
- A is a valyl, isoleucyl, 2-tert-butylglycyl, or 2-ethylglycyl;
- B is a N-methyl-valyl, N-methyl-isoleucyl, N-methyl-2-tert-butylglycyl, N-methyl-2-ethylglycyl, or N-methyl-norleucyl residue;
- D is a prolyl, or thiazolidine-4-carbonyl residue;
- 10 E is a prolyl, trans-4-fluoro-L-prolyl, cis-4-fluoro-L-prolyl, trans-4-chloro-L-prolyl or cis-4-chloro-L-prolyl residue;
- X is ethyl, isopropyl, sec. butyl, or tert.butyl ;
- G is a L-2-tert.butylglycyl, D-2-tert.butylglycyl, D-valyl, D-isoleucyl, D-leucyl, or 2,2-dimethylglycyl residue;
- 15 s is 0 or 1;
- K is -NH-C<sub>1-8</sub>-alkyl, -NH-C<sub>6-8</sub>-cycloalkyl, -NH-CH<sub>2</sub>-cyclohexyl, C<sub>1-4</sub>-alkyl-N-C<sub>1-6</sub>-alkyl, in which residues one CH<sub>2</sub> group may be replaced by O, one H by phenyl or 1 or 2 H by F, except the N-methoxy-N-methylamino, N-benzylamino or N-methyl-N-benzylamino residue, or K is

25





## 5. Novel peptides of the formula I



I

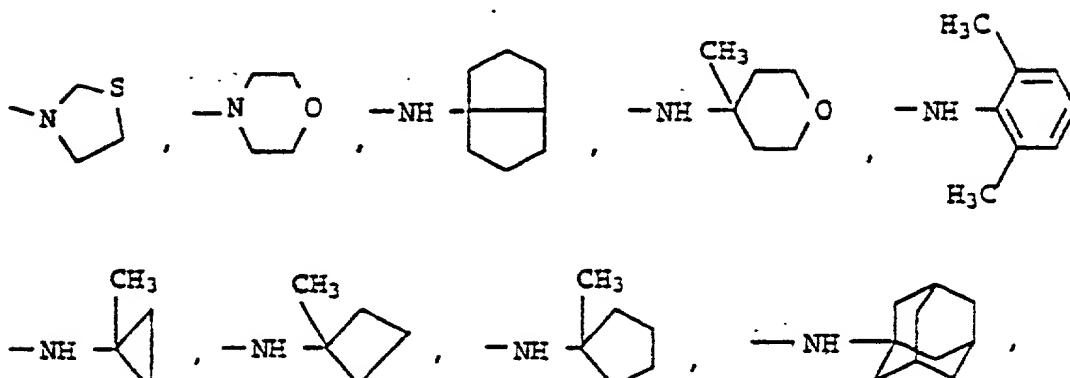
where

- |    |                |  |
|----|----------------|--|
| 15 | R <sup>1</sup> | is methyl;   |
|    | R <sup>2</sup> | is methyl;   |
|    | A              | is a valyl, isoleucyl, or 2-tert-butylglycyl residue;  |
|    | B              | is a N-methyl-valyl, N-methyl-isoleucyl, or N-methyl-2-tert-butylglycyl residue;   |
| 20 | D              | is a prolyl, or thiazolidine-4-carbonyl residue;   |
|    | E              | is a prolyl, cis-4-fluoro-L-prolyl or cis-4-chloro-L-prolyl residue;   |
|    | X              | is isopropyl, sec. butyl, or tert.-butyl ;   |
|    | s              | is 0 or 1;   |
|    | K              | is -NHC(CH <sub>3</sub> ) <sub>3</sub> , -NHCH(CH <sub>2</sub> CH <sub>2</sub> )CH(CH <sub>3</sub> ) <sub>2</sub> , -NHCH(CH <sub>3</sub> )C(CH <sub>3</sub> ) <sub>3</sub> ,<br>-N(CH <sub>3</sub> )OCH <sub>2</sub> CH <sub>3</sub> , -N(CH <sub>3</sub> )OCH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub> , -N(CH <sub>3</sub> )OCH(CH <sub>3</sub> ) <sub>2</sub> ,<br>-N(CH <sub>3</sub> )O(CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub> , -N(CH <sub>3</sub> )OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> , -NHC(CH <sub>3</sub> ) <sub>2</sub> C <sub>6</sub> H <sub>5</sub> ,<br>-NHC(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub> , -NHC(CH <sub>3</sub> ) (CH <sub>2</sub> CH <sub>3</sub> ) <sub>2</sub> , |
| 25 |                | -NHCH[CH(CH <sub>3</sub> ) <sub>2</sub> ] <sub>2</sub> , -NHC(CH <sub>3</sub> ) <sub>2</sub> CN, -NHCH(CH <sub>3</sub> )CH(OH)C <sub>6</sub> H <sub>5</sub> ,<br>-NH-C(CH <sub>3</sub> ) <sub>2</sub> CH=CH <sub>2</sub> , -NHC(CH <sub>3</sub> ) <sub>2</sub> C≡CH,   |

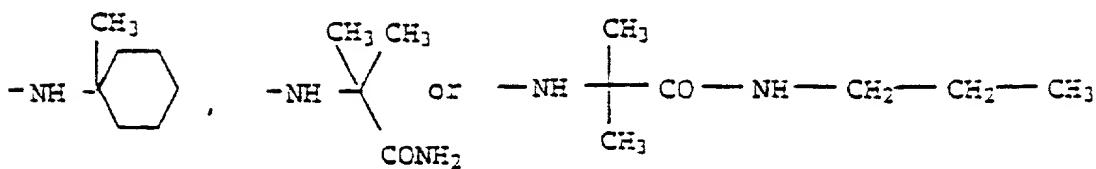
-NHC(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>C≡CH, -NHC(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OH,  
 -NHC(CH<sub>3</sub>)<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -NHC(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>,  
 -NHC(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>, -N(OCH<sub>3</sub>)CH(CH<sub>3</sub>)<sub>2</sub>, -N(OCH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>,  
 -N(OCH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -N(OCH<sub>3</sub>)CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>, -N(OCH<sub>3</sub>)C<sub>6</sub>H<sub>5</sub>,  
 5 -N(CH<sub>3</sub>)OC<sub>6</sub>H<sub>5</sub>, -N(OCH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>,

or K is

10



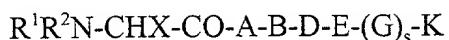
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and the salts thereof with physiologically tolerated acids.

#### 6. Novel peptides of the formula I



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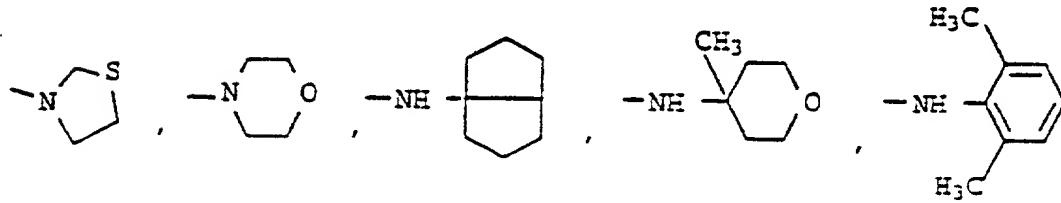
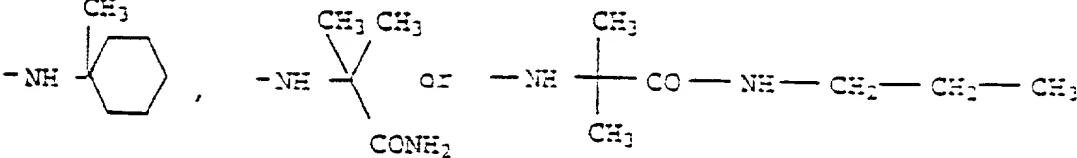
25 where

$\text{R}^1$  is methyl;

$\text{R}^2$  is methyl;

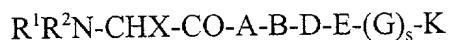
A is a valyl residue;

B is a N-methyl-valyl residue;

- D           is a prolyl residue;
- E           is a prolyl residue;
- X           is isopropyl ;
- s           is 0 or 1;
- 5        K     is  $-\text{NHC}(\text{CH}_3)_3$ ,  $-\text{NHCH}(\text{CH}_2\text{CH}_2)\text{CH}(\text{CH}_3)_2$ ,  $-\text{NHCH}(\text{CH}_3)\text{C}(\text{CH}_3)_3$ ,  
 $-\text{N}(\text{CH}_3)\text{OCH}_2\text{CH}_3$ ,  $-\text{N}(\text{CH}_3)\text{OCH}_2\text{CH}_2\text{CH}_3$ ,  $-\text{N}(\text{CH}_3)\text{OCH}(\text{CH}_3)_2$ ,  
 $-\text{N}(\text{CH}_3)\text{O}(\text{CH}_2)_3\text{CH}_3$ ,  $-\text{N}(\text{CH}_3)\text{OCH}_2\text{C}_6\text{H}_5$ ,  $-\text{NHC}(\text{CH}_3)_2\text{C}_6\text{H}_5$ ,  
 $-\text{NHC}(\text{CH}_3)_2\text{CH}_2\text{CH}_3$ ,  $-\text{NHC}(\text{CH}_3)(\text{CH}_2\text{CH}_3)_2$ ,  
 $-\text{NHCH}[\text{CH}(\text{CH}_3)_2]_2$ ,  $-\text{NHC}(\text{CH}_3)_2\text{CN}$ ,  $-\text{NHCH}(\text{CH}_3)\text{CH}(\text{OH})\text{C}_6\text{H}_5$ ,
- 10      -      $-\text{NH}-\text{C}(\text{CH}_3)_2\text{CH}=\text{CH}_2$ ,  $-\text{NHC}(\text{CH}_3)_2\text{C}\equiv\text{CH}$ ,  
 $-\text{NHC}(\text{CH}_2\text{CH}_3)_2\text{C}\equiv\text{CH}$ ,  $-\text{NHC}(\text{CH}_3)_2\text{CH}_2\text{CH}_2\text{OH}$ ,  
 $-\text{NHC}(\text{CH}_3)_2\text{CH}(\text{CH}_3)_2$ ,  $-\text{NHC}(\text{CH}_3)_2\text{CH}_2\text{CH}_2\text{CH}_3$ ,  
 $-\text{NHC}(\text{CH}_3)_2\text{CH}_2\text{C}_6\text{H}_5$ ,  $-\text{N}(\text{OCH}_3)\text{CH}(\text{CH}_3)_2$ ,  $-\text{N}(\text{OCH}_3)\text{CH}_2\text{CH}_3$ ,  
 $-\text{N}(\text{OCH}_3)\text{CH}_2\text{CH}_2\text{CH}_3$ ,  $-\text{N}(\text{OCH}_3)\text{CH}_2\text{C}_6\text{H}_5$ ,  $-\text{N}(\text{OCH}_3)\text{C}_6\text{H}_5$ ,
- 15      -      $-\text{N}(\text{CH}_3)\text{OC}_6\text{H}_5$ ,  $-\text{N}(\text{OCH}_3)\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_3$ ,
- or K is
- 20      
- 25      

and the salts thereof with physiologically tolerated acids.

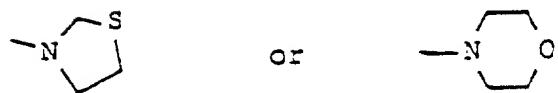
## 7. Novel peptides of the formula I



I

where

- 5            R<sup>1</sup>        is methyl;
- 10          R<sup>2</sup>        is methyl;
- A            is a valyl, isoleucyl, or 2-tert-butylglycyl residue;
- B            is a N-methyl-valyl, N-methyl-isoleucyl, or N-methyl-2-tert-butylglycyl residue;
- D            is a prolyl, or thiazolidine-4-carbonyl residue;
- E            is a prolyl residue;
- X            is isopropyl, sec. butyl, or tert.-butyl ;
- G            is a D-2-tert.butylglycyl, D-isoleucyl, 2,2-dimethylglycyl residue,
- 15          S            is 1;
- K            is -NHCH<sub>3</sub>, -NHCH<sub>2</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>2</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>3</sub>CH<sub>3</sub>,  
              -NH(CH<sub>2</sub>)<sub>4</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>5</sub>CH<sub>3</sub>, -NHCH(CH<sub>3</sub>)<sub>2</sub>,  
              -NHCH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>, -NHCH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -NHC(CH<sub>3</sub>)<sub>3</sub>, -NH-cyclohexyl, -NHC(CH<sub>3</sub>)<sub>2</sub>CN, -NCH(CH<sub>3</sub>)<sub>2</sub>C≡CH or  
              -NHC(CH<sub>3</sub>)<sub>2</sub>CONH<sub>2</sub>;
- 20          or K is



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and the salts thereof with physiologically tolerated acids.

8. Compounds of formula I or salts thereof for use in treating diseases.
  9. The method or preparing compounds of formula I according to claim 1 characterized in that they are prepared according to known methods of peptide chemistry.  
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